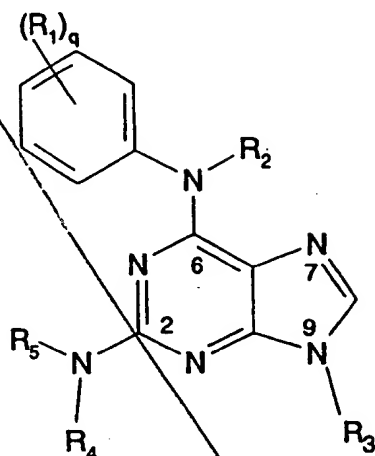


WHAT IS CLAIMED IS:

1. A 2-amino-6-anilino-purine derivative of the formula I



wherein

q is 1-5,

R₁ is

→ α) -S(=O)_k-NR₆R₇, in which

k is 1 or 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α1) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

α2) R₆ and R₇ together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, or

→ β) N-(aryl lower alkyl)carbamoyl, or

→ γ) a radical of the formula -NH-S(=O)_i-R₈, in which

i is 1 or 2,

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

→ δ) a radical of the formula -NH-C(=O)-R₉, in which

R₉ is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted;

05927322.084001

sub
B1

B1
cont

R_3 is a lower aliphatic radical, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

b) R_4 and R_5 together are a substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen,

2. A compound of the formula I according to claim 1, wherein q is 1-5,

 $\alpha) -S(=O)_k-NR_6R_7$, in which

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α2) R₆ and R₇ together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, or

γ) a radical of the formula $\text{-NH-S(=O)}_i\text{-R}_8$, in which

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula -NH-C(=O)-R_9 , in which

R_9 is alkoxy, aryloxy, alkenyl, alkynyl, aryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

R_3 is a lower aliphatic radical, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms and

R_5 , independently of R_4 , is as defined above for R_4 , with the exception of hydrogen, or

b) R_4 and R_5 together are a substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen,

or a salt thereof.

3. A compound of the formula I according to claim 1, wherein

q is 1-5,

R_1 is

α) $-S(=O)_k-NR_6R_7$, in which

k is 1 or 2,

wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

$\alpha 1$) R_6 , R_7 can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

$\alpha 2$) R_6 and R_7 together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen;

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula $-NH-S(=O)_i-R_8$, in which

i is 1 or 2,

R_8 is an aliphatic, carbocyclic or heterocyclic radical; or

09927322-081001

B1
cont

8) a radical of the formula -NH-C(=O)-R_9 , in which

R_9 is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms in case of α as defined above and

R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted cycloaliphatic or carbocyclic-aliphatic radical having not more than 29 C atoms or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms in cases of β , γ and δ as defined above; and

R_5 is, with the exception of hydrogen and independently of R_4 , in case of α as defined above for R_4 in case of α and in cases of β , γ and δ as defined above for R_4 in cases of β , γ and δ , or

b) R_4 and R_5 together are a substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen; or

R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl, and

R_3 is a lower aliphatic radical, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino, or a salt thereof.

4. A compound of the formula I according to claim 1, wherein

q is 1-3,

R_1 is

α) $\text{-S(=O)}_k\text{-NR}_6\text{R}_7$, in which

09927322-081001

B'
cont

is 2,

wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

$\alpha 1$) R_6 , R_7 can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; or hydrogen; or

$\alpha 2$) R_6 and R_7 together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, having in each case including the substituents not more than 20 C atoms, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula $-NH-S(=O)_i-R_8$, in which

i is 2, and

R_8 is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula $-NH-C(=O)-R_9$, in which

R_9 is alkoxy, aryloxy, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

R_3 is a lower alkyl,

R_4 is

hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy or lower alkoxy; an acyl radical of the part formula $Z-C(=W)-$, in which W is oxygen, sulfur or imino and Z is hydrogen, hydrocarbyl R^o , hydrocarbyloxy R^o-O- or an amino group of the formula $R_{11}(R_{12})N-$, in which R^o in each case is C_1-C_4 alkyl, hydroxy- C_2-C_{14} alkyl, cyano- C_1-C_4 alkyl, carboxy- C_1-C_4 alkyl, C_1-C_4 alkoxycarbonyl- C_1-C_4 alkyl, C_3-C_7 alkenyl or phenyl and R_{11} and R_{12} independently of one another are each hydrogen, lower alkyl, ω -amino-lower alkyl, lower alkylsulfonyl or phenyl; an aliphatic hydrocarbon radical having not more than 29 C atoms, which is substituted by halogen, amino, lower alkylamino, ω -amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω -amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, thio, lower alkylthio, thiocarbamoyl, thioureido, N-lower

09927322-081004

B1
cont

B1
cont

10

5

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or R₄ and R₅ together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 1-aminomethyl-butan -1,4-diyl, 1-

B'
cont

hydroxy-methyl-butane-1,4-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl or 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl, or a salt thereof.

5. A compound of the formula I according to claim 1, wherein

q is 1-3,

R₁ is

α) -S(=O)_k-NR₆R₇, in which

k is 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α1) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; or hydrogen; or

α2) R₆ and R₇ together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, having in each case including the substituents not more than 20 C atoms, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula -NH-S(=O)_i-R₈, in which

i is 2,

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula -NH-C(=O)-R₉, in which

R₉ is alkoxy, phenoxy, alkynyl or aryl alkynyl which in each case is unsubstituted or substituted;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

R₂ is hydrogen,

R₃ is lower alkyl,

R₄ is hydrogen or C₅-C₇ cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen.

6. A compound of the formula I according to claim 1, wherein

00927322 004001
100730 225 22550

q is 1-2,

R₁ is -S(=O)_k-NR₆R₇, in which

k is 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α1) R₆, R₇ can be identical or different from one another and represent hydrogen;

C₁-C₁₂ alkyl which is unsubstituted or substituted by hydroxy, lower alkoxy, halogen, amino, lower alkylamino, di-lower alkylamino, unsubstituted heteroaryl having not more than 10 carbon atoms and not more than 3 heteroatoms or aryl having not more than 14 carbon atoms which is unsubstituted or substituted by halogen, lower alkyl, lower alkoxy, phenoxy, lower alkoxycarbonyl, imidazolyl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkyl which is substituted by halogen;

C₃-C₁₀ cycloalkyl which is unsubstituted or substituted by hydroxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkylcarbamoyl; unsubstituted heteroaryl having not more than 20 carbon atoms and not more than 3 heteroatoms;

aryl having not more than 20 carbon atoms unsubstituted or substituted by halogen, lower alkyl, lower alkoxy, phenoxy, lower alkoxycarbonyl, imidazolyl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkyl which is substituted by halogen; or

α2) R₆ and R₇ together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen or nitrogen, having in each case including the substituents not more than 20 C atoms;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

R₂ is hydrogen,

R₃ is lower alkyl,

R₄ is hydrogen or C₅-C₇ cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen.

7. A compound of the formula I according to claim 1, wherein

0927322-081001

B1
cont

β) R_1 is N-(phenyl lower alkyl)carbonyl, wherein phenyl is unsubstituted or substituted by halogen, lower alkyl, hydroxy, lower alkoxy, phenoxy, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or

γ) R_1 is a radical of the formula $-NH-S(=O)_i-R_8$, in which

i is 2,

R_8 is

lower alkyl, lower alkyl which is substituted by halogen;

C_3-C_8 cycloalkyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino or carbonyl;

unsubstituted heteroaryl having not more than 20 carbon atoms and not more than 3 heteroatoms;

phenyl which is unsubstituted or substituted by halogen, lower alkyl, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or

δ) R_1 is a radical of the formula $-NH-C(=O)-R_9$,

R_9 is

alkoxy, phenoxy, alkynyl, which is unsubstituted or substituted by tri(lower alkyl)silyl; heteroaryl alkynyl, wherein the heteroaryl moiety comprises one or two heteroatoms selected from the group consisting of nitrogen, sulfur and oxygen, and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; heterocyclyl alkynyl, wherein the heterocyclyl moiety comprises one or two heteroatoms selected from the group consisting of nitrogen, sulfur and oxygen, and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or phenyl alkynyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

R_3 is lower alkyl,

0927322.081001

B1
cont

B1
cont

R₄ is hydrogen or C₅-C₇ cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen.

8. A compound of the formula I according to claim 1, wherein

q is 1,

R₁ is

α) -S(=O)_k-NR₆R₇, in which

k is 2,

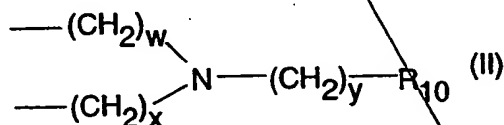
wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α1) R₆, R₇ can be identical or different from one another and represent hydrogen, C₁-C₈ alkyl, hydroxy lower alkyl, phenyl unsubstituted or substituted by phenoxy, lower alkoxy, imidazolyl, lower alkyl, halogen, halogen lower alkyl, lower alkyloxycarbonyl, morpholinyl; lower alkyl substituted by phenyl, halogenphenyl, naphthyl, furanyl or pyridyl; C₃-C₆ cycloalkyl unsubstituted or substituted by hydroxy; tetrahydronaphthyl or chinolinyl; or

α1) R₆ and R₇ together are an alkylene radical

α1.1) having from 4 up to and including 6 C atoms, in which 1 C atom can be replaced by oxygen; or

α1.2) a radical of the formula (II),



in which w is 2, x is 2, y is 0 or 1 and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, trifluoromethyl or lower alkoxy,

β) unsubstituted or substituted phenyl lower alkylcarbamoyl, in which cas phenyl can be substituted by halogen, lower alkyl, lower alkoxy or trifluoromethyl; or

γ) a radical of the formula -NH-S(=O)_i-R₈,

in which i is 2, and

09927322.001001

R_8 is lower alkyl or phenyl substituted by lower alkyl or lower alkoxy; or

δ) a radical of the formula $-NH-C(=O)-R_9$, in which

R_9 is lower alkoxy, phenoxy, phenyl lower alkynyl, in which phenyl is unsubstituted or substituted by halogen, lower alkyl or lower alkoxy; lower alkynyl or tri(lower alkyl) silyl lower alkynyl,

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

R_3 is lower alkyl,

R_4 is hydrogen, and

R_5 is cyclohexyl, which is substituted by amino, hydroxy or carbamoyl.

9. A compound of the formula I according to claim 1, wherein

q is 1-3,

R_1 is

a radical of the formula $-NH-C(=O)-R_9$, in which R_9 is

alkoxy, phenoxy, alkynyl, which is unsubstituted or substituted by tri(lower alkyl)silyl; heteroaryl alkynyl, wherein the heteroaryl moiety is selected from the group consisting of pyridyl, pyrimidyl, thienyl, furyl, oxazolyl and thiazolyl and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; heterocyclyl alkynyl, wherein the heterocyclyl moiety is selected from the group consisting of piperidinyl, pyrrolidinyl, piperazinyl, lower alkyl piperazinyl, morpholinyl and thiamorpholinyl, and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or phenyl alkynyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

R_3 is lower alkyl,

09927322.084001

R₄ is hydrogen or C₅-C₇ cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen or a pharmaceutically acceptable salt thereof.

10. A compound of the formula I according to claim 1, wherein

q is 1-2,

R₁ is

a radical of the formula -NH-C(=O)-R₉, in which R₉ is

alkoxy, phenoxy, alkynyl, which is unsubstituted or substituted by tri(lower alkyl)silyl; heteroaryl alkynyl, wherein the heteroaryl moiety is selected from the group consisting of pyridyl, pyrimidyl and thienyl, and which radical is unsubstituted or substituted by lower alkyl; heterocyclyl alkynyl, wherein the heterocyclyl moiety is selected from the group consisting of piperidiny and piperazinyl, and which radical is unsubstituted or substituted by lower alkyl; or phenyl alkynyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

R₂ is hydrogen,

R₃ is lower alkyl,

R₄ is hydrogen or C₅-C₇ cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen or a pharmaceutically acceptable salt thereof.

Sub D₃
11. A compound of the formula I according to claim 1 selected from the group consisting of
cis-2-[6-(4-Butyl-aminosulfonyl-phenylamino)-9-ethyl-9H-purin-2-yl-amino]-cyclohexanecarboxylic acid amide
cis-2-[9-Ethyl-6-[4-(3-methylbutyl)-aminosulfonyl-phenylamino]-9H-purin-2-yl-amino]-cyclohexanecarboxylic acid amide

cis-2-[9-Ethyl-6-(4-isobutyl-amino-sulfonyl-phenylamino)-9*H*-purin-2-yl-amino]-
cyclohexanecarboxylic acid amide
cis-2-[9-Ethyl-6-[4-(4-phenyl-piperazin-1-yl-sulfonyl)-phenylamino]-9*H*-purin-2-yl-amino]-
cyclohexanecarboxylic acid amide
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-methyl-
butyl)-sulfonamide
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-butyl-
sulfonamide
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-isobutyl-
sulfonamide
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-cyclohexyl-
sulfonamide
cis-2-[6-(4-Cyclohexyl-aminosulfonyl-phenylamino)-9-ethyl-9*H*-purin-2-yl-amino]-
cyclohexanecarboxylic acid amide
N-2-(*trans*-4-Amino-cyclohexyl)-9-ethyl-*N*-6-[4-(piperidine-1-sulfonyl)-phenyl]-9*H*-purine-2,6-
diamine
cis-2-[9-Ethyl-6-[4-(piperidine-1-sulfonyl)-phenyl amino]-9*H*-purin-2-yl-amino]-
cyclohexanecarboxylic acid amide
cis-2-[6-[4-(*N*-Butyl-*N*-methyl-amino-sulfonyl)-phenylamino]-9-ethyl-9*H*-purin-2-yl-amino]-
cyclohexanecarboxylic acid amide
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-butyl-*N*-methyl-
sulfonamide
cis-2-[9-Ethyl-6-[4-(*N*-methyl-*N*-phenyl-aminosulfonyl)-phenylamino]-9*H*-purin-2-yl-amino]-
cyclohexanecarboxylic acid amide
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-methyl-*N*-
phenyl-sulfonamide
N-2-(*trans*-4-Amino-cyclohexyl)-9-ethyl-*N*-6-[4-(4-phenyl-piperazine-1-sulfonyl)-phenyl]-9*H*-
purine-2,6-diamine
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-*N*-isobutyl-*N*-
methyl-sulfonamide
trans-4-(9-Ethyl-6-[4-[4-(4-fluoro-phenyl)-piperazine-1-sulfonyl]-phenylamino]-9*H*-purin-2-yl-
amino)-cyclohexanol

Sub
03

FOOTNOTES 22E/2660

Sub
D³

09027332-081001

~~*trans*-4-(9-Ethyl-6-[4-[4-(3-trifluoromethyl-phenyl)-piperazine-1-sulfonyl]-phenylamino]-9*H*-
purin-2-yl-amino)-cyclohexanol
trans-4-(9-Ethyl-6-[4-[4-(2-methoxy-phenyl)-piperazine-1-sulfonyl]-phenylamino]-9*H*-purin-2-
yl-amino)-cyclohexanol
N-Cyclohexyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl)-
N-methyl-sulfonamide
trans-4-[9-Ethyl-6-[4-(pyrrolidine-1-sulfonyl)-phenylamino]-9*H*-purin-2-yl-amino]-
cyclohexanol
trans-4-[6-[4-(Azepane-1-sulfonyl)-phenylamino]-9-ethyl-9*H*-purin-2-yl-amino]-cyclohexanol
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(4-methoxy-
phenyl)-*N*-methyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(2-pyridin-2-
yl-ethyl)-sulfonamide
trans-4-[6-[4-(4-Benzyl-piperazine-1-sulfonyl)-phenylamino]-9-ethyl-9*H*-purin-2-yl-amino]-
cyclohexanol
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(*trans*-4-
hydroxy-cyclohexyl)-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-naphthalen-
1-yl-methyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-heptyl-*N*-
methyl-sulfonamide
N-(3,3-Diphenyl-propyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-
amino]-phenyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(1-methyl-3-
phenyl-propyl)-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-methyl-
butyl)-sulfonamide
trans-4-[9-Ethyl-6-[4-(piperidine-1-sulfonyl)-phenylamino]-9*H*-purin-2-yl-amino]-cyclohexanol
N-(3-Chloro-benzyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-
phenyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-imidazol-
1-yl-phenyl)-sulfonamide)~~

N-(3,4-Dimethoxy-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(5-fluoro-2-methyl-phenyl)-sulfonamide
N-(3,5-Dimethoxy-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-phenyl-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-methyl-*N*-phenyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(5,6,7,8-tetrahydro-1-naphthyl)-sulfonamide
N-Benzyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-*N*-phenyl-sulfonamide
4-[4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonylamino]-benzoic acid propyl ester
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(4-morpholin-4-yl-phenyl)-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-quinolin-3-yl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(4-phenoxy-phenyl)-sulfonamide
N-(2,4-Eimethyl-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-*m*-tolyl-sulfonamide)
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-*o*-tolyl-sulfonamide
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-trifluoromethyl-phenyl)-sulfonamide
N-(3,4-Dichloro-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide
N-(3-Chloro-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide

Sub
03

09927322 "081001

Sub
03

09927322-081001

~~4-[9-Ethyl-2-(trans-4-hydroxy-cyclohexyl-amino)-9H-purin-6-yl-amino]-phenyl-N-phenyl-sulfonamide~~
~~4-[9-Ethyl-2-(trans-4-hydroxy-cyclohexyl-amino)-9H-purin-6-yl-amino]-phenyl-N-propyl-sulfonamide~~
~~N-Butyl-4-[9-ethyl-2-(trans-4-hydroxy-cyclohexyl-amino)-9H-purin-6-yl-amino]-phenyl-N-methyl-sulfonamide~~
~~trans-4-[9-Ethyl-6-[4-(4-phenyl-piperazine-1-sulfonyl)-phenylamino]-9H-purin-2-yl-amino]-cyclohexanol~~
~~4-[9-Ethyl-2-(trans-4-hydroxy-cyclohexyl-amino)-9H-purin-6-yl-amino]-phenyl-N-3-pyridylmethyl-sulfonamide~~
~~4-[9-Ethyl-2-(trans-4-hydroxy-cyclohexyl-amino)-9H-purin-6-yl-amino]-phenyl-N-2-furanylmethyl-sulfonamide~~
~~N-Benzyl-N-ethyl-4-[9-ethyl-2-(trans-4-hydroxy-cyclohexylamino)-9H-purin-6-yl-amino]-phenyl-sulfonamide~~
~~N-Cyclohexyl-4-[9-ethyl-2-(trans-4-hydroxy-cyclohexylamino)-9H-purin-6-yl-amino]-phenyl-sulfonamid~~
~~N-Cyclopropyl-4-[9-ethyl-2-(trans-4-hydroxy-cyclohexylamino)-9H-purin-6-yl-amino]-phenyl-sulfonamide~~
~~4-[9-Ethyl-2-(trans-4-hydroxy-cyclohexyl-amino)-9H-purin-6-yl-amino]-phenyl-N-(3-hydroxy-propyl)-sulfonamide~~
~~4-[9-Ethyl-2-(trans-4-hydroxy-cyclohexyl-amino)-9H-purin-6-yl-amino]-phenyl-N-isobutyl-sulfonamide~~
~~N,N-Dibutyl-4-[9-ethyl-2-(trans-4-hydroxy-cyclohexylamino)-9H-purin-6-yl-amino]-phenyl-sulfonamide~~
~~4-[9-Ethyl-2-(trans-4-hydroxy-cyclohexyl-amino)-9H-purin-6-yl-amino]-phenyl-N-octyl-sulfonamide~~
~~trans-4-[9-Ethyl-6-[4-(morpholine-4-sulfonyl)-phenyl-amino]-9H-purin-2-yl-amino]-cyclohexanol~~
~~trans-4-[9-Ethyl-6-[4-(4-methyl-piperazine-1-sulfonyl)-phenyl-amino]-9H-purin-2-yl-amino]-cyclohexanol~~
~~N-Butyl-4-[9-ethyl-2-(trans-4-hydroxy-cyclohexyl-amino)-9H-purin-6-yl-amino]-phenyl-sulfonamid~~

050303

~~N-Benzyl-4-[9-ethyl-2-(trans-4-hydroxy-cyclohexyl-amino)-9H-purin-6-yl-amino]-phenyl-sulfonamide~~

~~4-[9-Ethyl-2-(trans-4-hydroxy-cyclohexyl-amino)-9H-purin-6-yl-amino]-phenyl-N-methyl-sulfonamide~~

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N,N*-dimethyl-sulfonamide

***N*-Benzyl-3-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-benzamide**

~~3-[9-Ethyl-2-(trans-4-hydroxy-cyclohexylamino)-9H-purin-6-yl-amino]-N-(4-fluoro-benzyl)-benzamide~~

~~3-[9-Ethyl-2-(trans-4-hydroxy-cyclohexylamino)-9H-purin-6-yl-amino]-N(4-methyl-benzyl)-benzamide~~

~~3-[9-Ethyl-2-(trans-4-hydroxy-cyclohexylamino)-9H-purin-6-yl-amino]-N-(4-methoxy-benzyl)-benzamide~~

~~3-[9-Ethyl-2-(trans-4-hydroxy-cyclohexylamino)-9H-purin-6-yl-amino]-N-(4-trifluoromethyl-benzyl)-benzamide~~

N-[4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl]-methane-sulfonamide

N-[4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl]-4-methyl-benzenesulfonamide

N-(4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-4-methoxy-benzenesulfonamide

~~*N*-(3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-methanesulfonamide~~

***N*-(3-[9-Ethyl-2-*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-4-methyl-benzenesulfonamide**

~~*N*-(3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-4-methoxy-benzenesulfonamide~~

4-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl]-carbamic acid methyl ester

{4-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-carbamic acid isobutyl ester

SECRET

~~{3-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-carbamic acid methyl ester~~

~~{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-carbamic acid isobutyl ester~~

~~(3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-carbamic acid phenyl ester~~

N-[3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl]-3-trimethylsilylpropargylic acid amide

~~N-[3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl]-3-phenylpropargylic acid amide)~~

N-[3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl]-4,4-dimethyl-2-pentynylic acid amide

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-3-(4-chlorophenyl)-propargylic acid amide

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-3-(4-fluorophenyl)-propargylic acid amide

N-[3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl]-3-p-tolylpropargylic acid amide

~~N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(4-methoxyphenyl)-propargylic acid amide~~

~~3-(4-Chloro-phenyl)-propynoic acid {3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9H-purin-6-ylamino]-phenyl}-amide~~

3-p-Tolyl-propynoic acid {3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9H-purin-6-ylamino]-phenyl}-amide

3-(4-Methoxy-phenyl)-propynoic acid {3-[2-(4-hydroxy-cyclohexyl-amino)-9-isopropyl-9H-purin-6-ylamino]-phenyl}-amide

3-(4-Fluoro-phenyl)-propynoic acid {3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9H-purin-6-ylamino]-phenyl}-amide

~~3-(Phenyl)-propynoic acid {3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9H-purin-6-ylamino]-phenyl}-amide~~

Biphenyl-4-carboxylic acid {3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9H-purin-6-ylamino]-phenyl}-amide
 3-m-Tolyl-propynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 3-(3-Trifluoromethyl-phenyl)-propynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 4,4-Dimethyl-pent-2-ynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 3-(6-Methyl-pyridin-2-yl)-propynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 3-(4-Methyl-pyrimidin-2-yl)-propynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 N-{3-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(2,6-dichlorophenyl)-propargylic acid amide
 N-{3-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(2-thiophenyl)-propargylic acid amide
 N-{3-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(2,5-dimethyl-phenyl)-propargylic acid amide
 N-{3-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(3,4-dimethyl-phenyl)-propargylic acid amide
 4-Piperidin-1-yl-but-2-ynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexyl-amino)-9H-purin-6-ylamino]-phenyl}-amide
 4-(4-Methyl-piperazin-1-yl)-but-2-ynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide
 and the pharmaceutical acceptable salts thereof.

12. A compound of the formula I according to any one of claims 1-11 or a pharmaceutically acceptable salt of such a compound for use in a method for therapeutic treatment of the human or animal body.

13. A pharmaceutical composition comprising a compound of the formula I according to any one of claims 1-11 or a pharmaceutically acceptable salt of such a compound together with a pharmaceutical carrier.

Amen.
 a 2

100780 22622650

Sub
03

14. A pharmaceutical composition for treatment of tumours in warm-blooded animals, including humans, comprising an antitumourally effective dose of a compound of the formula I according to ~~any one~~ of claims 1-11 or a pharmaceutically acceptable salt of such ~~a~~ compound together with a pharmaceutical carrier.

15. The use of a compound of the formula I according to any one of claims 1-11 or of a pharmaceutically acceptable salt of such a compound for the preparation of a pharmaceutical composition for use for chemotherapy of tumours or osteoporosis.

16. The use of a compound of the formula I according to any one of claims 1-11 or of a pharmaceutically acceptable salt of such a compound for chemotherapy of tumours or osteoporosis.

17. A method for treatment of warm-blooded animals, including humans, in which an antitumourally effective dose of a compound of the formula I according to any one of claims 1-11 or of a pharmaceutically acceptable salt of such a compound is administered to such a warm-blooded animal suffering from a tumour disease.

18. A method for treatment of warm-blooded animals, including humans, in which a dose, which is effective against osteoporosis, of a compound of the formula I according to any one of claims 1-11 or of a pharmaceutically acceptable salt of such a compound is administered to such a warm-blooded animal suffering from osteoporosis.

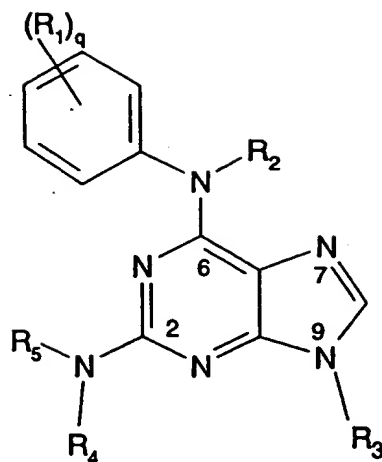
19. A process for the preparation of a 2-amino-6-anilino-purine derivative of the formula I

Amen.
B²/3

09927322.081001

Amen.
A⁴
B³

B³
cont



in which q is 1-5,

R₁ is

α) -S(=O)_k-NR₆R₇, in which

k is 1 or 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

α1) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

α2) R₆ and R₇ together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula -NH-S(=O)_i-R₈, in which

i is 1 or 2,

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula -NH-C(=O)-R₉, in which

R₉ is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

R₂ is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

R₃ is a lower aliphatic radical, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-low r alkylamino and

B³
cont

09927322-081001

B³
cont

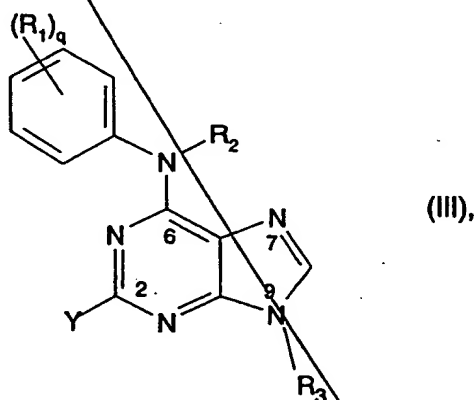
a) R₄ is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms and

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or

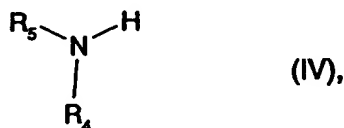
b) R₄ and R₅ together are a substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen,

or a salt thereof, which comprises

a) for the manufacture of a compound of formula I, wherein R₁ is -SO_kNR₆R₇, reacting a compound of the formula III



in which Y is a suitable leaving group, R₁ is -SO_kNR₆R₇ and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with an amine of the formula IV



09927322.081001

B³
cont

b) for the manufacture of a compound of formula I, wherein R₁ is N-(aryl lower alkyl) carbamoyl, reacting a compound of the formula V



in which R₁ is -CO₂H and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with an aryl lower alkyl amine, free functional groups present in the aryl moiety, if necessary, being protected by easily detachable protective groups, and detaching the protective groups present, or

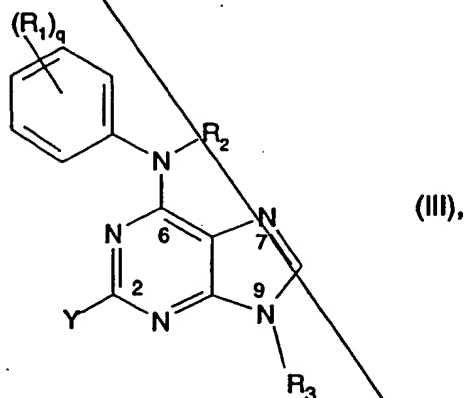
c) for the manufacture of a compound of formula I, wherein R₁ is a radical of the formula -NH-S(=O)_i-R₈ or of the formula -NH-C(=O)-R₉, reacting a compound of the formula V in which R₁ is -NH₂ and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with a compound of the formula VI or VII,



in which Y is a suitable leaving group and

R_8 and R_9 are as defined above for compounds of the formula I, free functional groups present in R_8 or R_9 , if necessary, being protected by easily detachable protective groups, and detaching the protective groups present, and, after carrying out process a), b) or c), if necessary for the preparation of a salt, converting a resulting free compound of the formula I into a salt or, if necessary for preparation of a free compound, converting a resulting salt of a compound of the formula I into the free compound.

20. A compound of the formula III



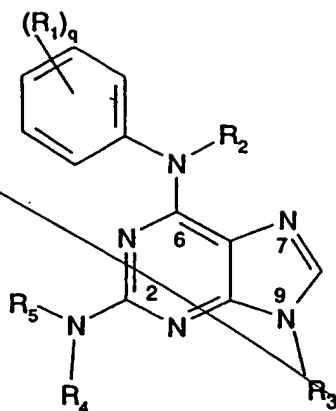
in which Y is a suitable leaving group, R_1 is $-SO_2NR_6R_7$ and the other substituents and symbols are as defined in claim 1 for compounds of the formula I, it being possible for free functional groups present therein to be protected by easily detachable protective groups, or a salt thereof.

21. A compound of the formula V

B3
cont

T00180"22222550

B³
cont



in which R₁ is CO₂H and the other substituents and symbols are as defined in claim 1 for compounds of the formula I, free functional groups present therein being protected, if necessary, by easily detachable protective groups, or a salt thereof.

add B4

add
c9